

R E M A R K S

Election

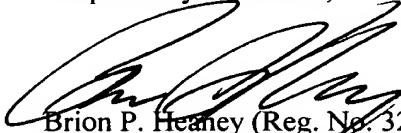
In response to the Office Action of January 30 2002, applicants hereby elected the compound of Ex. 49., i.e., 6-[[1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy] hexanoic isopropyl ester. Applicants assume that further prosecution will be performed in accordance MPEP §809.02(c).

Amendments

The claims are amended to employ language in accordance with conventional U.S. practice and to delete superfluous language. New claims 25 and 26 are directed to the elected species.

Attached hereto is a marked-up version of the changes made to the specification and claims by the current amendment. The attached pages are captioned "**Version with Markings to Show Changes Made**".

Respectfully submitted,



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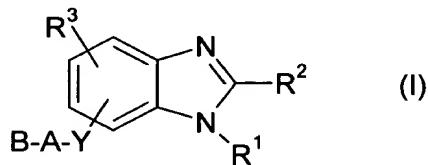
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VERSION WITH MARKINGS TO SHOW CHANGES MADE

--1. (Amended) A benzimidazole compound according to formula I



in which

**R<sup>1</sup>** means a monocyclic or bicyclic C<sub>6-12</sub> aryl group or a monocyclic or bicyclic 5- to 10-membered heteroaryl group with 1-4 heteroatoms selected from the group that consists of N, S or O, whereby the mentioned wherein said aryl or heteroaryl group can be is unsubstituted or is substituted with up to three of the following substituents, independently of one another:

F, Cl, Br, I,  
C(NH)NH<sub>2</sub>, C(NH)NHR<sup>4</sup>, C(NH)NR<sup>4</sup>R<sup>4'</sup>, C(NR<sup>4</sup>)NH<sub>2</sub>, C(NR<sup>4</sup>)NHR<sup>4'</sup>,  
C(NR<sup>4</sup>)NR<sup>4</sup>R<sup>4'</sup>,  
XOH, XOR<sup>4</sup>, XOCOR<sup>4</sup>, XOCOCONHR<sup>4</sup>, XOCOOR<sup>4</sup>,  
XCOR<sup>4</sup>, XC(NOH)R<sup>4</sup>, XC(NOR<sup>4</sup>)R<sup>4'</sup>, XC(NO(COR<sup>4</sup>))R<sup>4'</sup>  
XCN, XCOOH, XCOOR<sup>4</sup>, XCONH<sub>2</sub>, XCONR<sup>4</sup>R<sup>4'</sup>, XCONHR<sup>4</sup>, XCONHOH,  
XCONHOR<sup>4</sup>, XCOSR<sup>4</sup>  
XSR<sup>4</sup>, XSOR<sup>4</sup>, XSO<sub>2</sub>R<sup>4</sup>,  
SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>4</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>4'</sup>,  
NO<sub>2</sub>, XNH<sub>2</sub>, XNHR<sup>4</sup>, XNR<sup>4</sup>R<sup>4'</sup>, XNHSO<sub>2</sub>R<sup>4</sup>, XN(SO<sub>2</sub>R<sup>4</sup>)SO<sub>2</sub>R<sup>4'</sup>,  
XNR<sup>4</sup>SO<sub>2</sub>R<sup>4'</sup>,  
XNHCOR<sup>4</sup>, XNHCOOR<sup>4</sup>, XNHCONHR<sup>4</sup>, tetrahydro-2,5-dioxopyrrol-1-yl, 2,5-dihydro-2,5-dioxopyrrol-1-yl, 2,7-dihydro-2,7-dioxoisooindol-1-yl, and R<sup>4</sup>,  
whereby two wherein two of said R<sup>1</sup> substituents at R<sup>4</sup>, if they are in ortho-position to one another, can be linked to one another in such a way that they jointly form methanediylbisoxo, ethane-1,2-diylbisoxo, propane-1,3-diyl, ~~butane-1,4-diyl~~, or butane-1,4-diyl;

**R<sup>2</sup>** means a monocyclic or bicyclic C<sub>6-10</sub> aryl group or a monocyclic or bicyclic 5-to 10-membered heteroaryl group with 1-4 heteroatoms selected from the group that consists of N, S or O, whereby the mentioned wherein said aryl or heteroaryl group can be substituted is unsubstituted or is substituted with up to three of the following substituents, independently of one another:

F, Cl, Br, I,  
XOH, XOR<sup>4</sup>, XOCOR<sup>4</sup>, XOCONHR<sup>4</sup>, XOCOOR<sup>4</sup>,  
XCOR<sup>4</sup>, XC(NOH)R<sup>4</sup>, XC(NOR<sup>4</sup>)R<sup>4</sup>, XC(NO(COR<sup>4</sup>))R<sup>4</sup>,  
XCOOH, XCOOR<sup>4</sup>, XCONH<sub>2</sub>, XCONHR<sup>4</sup>, XCONR<sup>4</sup>R<sup>4</sup>, XCONHOH,  
XCONHOR<sup>4</sup>, XCOSR<sup>4</sup>,  
XSR<sup>4</sup>, XSOR<sup>4</sup>, XSO<sub>2</sub>R<sup>4</sup>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>4</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>4</sup>,  
NO<sub>2</sub>, XNHR<sup>4</sup>, XNR<sup>4</sup>R<sup>4</sup>, XNHSO<sub>2</sub>R<sup>4</sup>, XN(SO<sub>2</sub>R<sup>4</sup>)SO<sub>2</sub>R<sup>4</sup>, XNR<sup>4</sup>SO<sub>2</sub>R<sup>4</sup>, tetrahydro-2,5-dioxopyrrol-1-yl, 2,5-dihydro-2,5-dioxopyrrol-1-yl, 2,7-dihydro-2,7-dioxoisooindol-1-yl, and R<sup>4</sup>,

whereby two wherein two of said R<sup>2</sup> substituents at R<sup>2</sup>, if they are in ortho-position to one another, can be linked to one another in such a way that they jointly form methanediyl-bisoxo, ethane-1,2-diylbisoxo, propane-1,3-diyl, butane-1,4-diyl, or butane-1,4-diyl;

**R<sup>3</sup>** means one or two substituents, which form, substituents which are independently of one another:

hydrogen,  
F, Cl, Br, I,  
XOH, XOR<sup>4</sup>, XOCOR<sup>4</sup>, XOCONHR<sup>4</sup>, XOCOOR<sup>4</sup>,  
XCOR<sup>4</sup>, XC(NOH)R<sup>4</sup>, XC(NOR<sup>4</sup>)R<sup>4</sup>, XC(NO(COR<sup>4</sup>))R<sup>4</sup>,  
XCN, XCOOH, XCOOR<sup>4</sup>, XCONH<sub>2</sub>, XCONHR<sup>4</sup>, XCONR<sup>4</sup>R<sup>4</sup>, XCONHOH,  
XCONHOR<sup>4</sup>, XCOSR<sup>4</sup>, XSR<sup>4</sup>, XSOR<sup>4</sup>, XSO<sub>2</sub>R<sup>4</sup>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>4</sup>,  
SO<sub>2</sub>NR<sup>4</sup>R<sup>4</sup>,  
NO<sub>2</sub>, XNH<sub>2</sub>, XNHR<sup>4</sup>, XNR<sup>4</sup>R<sup>4</sup>,  
XNHSO<sub>2</sub>R<sup>4</sup>, XNR<sup>4</sup>SO<sub>2</sub>R<sup>4</sup>, XN(SO<sub>2</sub>R<sup>4</sup>)(SO<sub>2</sub>R<sup>4</sup>),  
XNHCOR<sup>4</sup>, XNHCOOR<sup>4</sup>, XNHCONHR<sup>4</sup>, tetrahydro-2,5-dioxopyrrol-1-yl, 2,5-dihydro-2,5-dioxopyrrol-1-yl, 2,7-dihydro-2,7-dioxoisooindol-1-yl, or R<sup>3</sup> can be R<sup>4</sup>,  
whereby wherein two substituents at R<sup>3</sup>, if they are in ortho-position to one another,

can be linked to one another in such a way that they jointly form methanediylbisoxo, ethane-1,2-diylbisoxo, propane-1,3-diyl, ~~butane-1,4-diyl~~, or ~~butane-1,4-diyl~~;

**R<sup>4</sup>** and **R<sup>4'</sup>**, independently of one another, mean C<sub>1-4</sub> perfluoroalkyl, C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkinyl, C<sub>3-7</sub> cycloalkyl, (C<sub>1-3</sub> alkyl-C<sub>3-7</sub> cycloalkyl), C<sub>1-3</sub> alkyl-C<sub>6-10</sub> aryl, C<sub>1-3</sub> alkyl-5 to 10-membered heteroaryl, with 1-4 N, S or O atoms, or C<sub>6-10</sub> aryl or 5- to 10-membered heteroaryl with 1-4 N, S or O atoms, whereby the wherein aryl and heteroaryl groups can bear unsubstituted or substituted with one or two substituents from the group that consists of selected from F, Cl, Br, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, NO<sub>2</sub>, OCH<sub>3</sub>, OC<sub>2</sub>H<sub>5</sub>, CF<sub>3</sub>, C<sub>2</sub>F<sub>5</sub> or else and C<sub>2</sub>F<sub>5</sub>, or can carry an annelated methanediylbisoxo group or ethane-1,2-diylbisoxo group, and in addition in wherein a 5-membered cycloalkyl ring, can have an N or O ring member, and wherein ring member can be an N or an O, and in a 6- or 7-membered cycloalkyl ring, can have N and/or O, and wherein one or two ring members can be N and/or O, whereby which are each ring nitrogens optionally can be substituted with C<sub>1-3</sub> alkyl or C<sub>1-3</sub> alkanoyl,

**R<sup>5</sup>** and **R<sup>5'</sup>**, independently of one another, mean C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, or C<sub>2-6</sub> alkinyl, whereby wherein in each case a carbon atom can be exchanged for optionally replaced by O, S, SO, SO<sub>2</sub>, NH, N C<sub>1-3</sub> alkyl or N C<sub>1-3</sub> alkanoyl,

C<sub>3-7</sub> cycloalkyl-C<sub>0-3</sub> alkyl, whereby in a 5-membered cycloalkyl ring, a can optionally have an N or O ring member be an N or an O and in a 6- or 7-membered cycloalkyl ring, ring can optionally have one or two ring members can be which are each N and/or O, whereby wherein ring nitrogens optionally can be substituted with C<sub>1-3</sub> alkyl or C<sub>1-3</sub> alkanoyl,

C<sub>6-10</sub> aryl or 5- to 10-membered heteroaryl with 1-4 heteroatoms from N, S, and O, whereby the mentioned alkyl, alkenyl and alkinyl chains can be substituted with one of the previously mentioned cycloalkyls, aryls or heteroaryls,

whereby all previously mentioned alkyl and cycloalkyl radicals with up to two substituents consisting of can be substituted with up to two substituents selected from CF<sub>3</sub>, C<sub>2</sub>F<sub>5</sub>, OH, O C<sub>1-3</sub> alkyl, NH<sub>2</sub>, NH C<sub>1-3</sub> alkyl, NH C<sub>1-3</sub> alkanoyl, N (C<sub>1-3</sub> alkyl)<sub>2</sub>, N(C<sub>1-3</sub> alkyl)(C<sub>1-3</sub> alkanoyl), COOH, CONH<sub>2</sub>, and COO C<sub>1-3</sub> alkyl, and all previously mentioned aryl and heteroaryl groups can optionally be substituted with one or two substituents from the group that consists of selected from F, Cl, Br, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, NO<sub>2</sub>, OCH<sub>3</sub>, OC<sub>2</sub>H<sub>5</sub>, CF<sub>3</sub>, C<sub>2</sub>F<sub>5</sub> and C<sub>2</sub>F<sub>5</sub>, or else can carry an annelated methanediylbisoxo, ethane-1,2-diylbisoxo group, or R<sup>5</sup> and R<sup>5'</sup> together with the nitrogen atom form a 5-to 7-membered heterocyclic

compound, group, which can optionally contain another oxygen, nitrogen or sulfur atom and can be optionally substituted with by C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy-C<sub>0-2</sub> alkyl, C<sub>1-4</sub> alkoxy-carbonyl, aminocarbonyl or phenyl,

A means C<sub>1-10</sub> alkanediyl, C<sub>2-10</sub> alkenediyl, C<sub>2-10</sub> alkinediyl, or (C<sub>0-5</sub> alkanediyl-C<sub>3-7</sub> cycloalkanediyl-C<sub>0-5</sub> alkanediyl), whereby in a 5-membered cycloalkyl ring, a ring member can be an N or an O, and in can optionally have an N or O ring member, and a 6- or 7-membered cycloalkyl ring; can optionally have one or two ring members can be which are each N and/or or O, whereby ring nitrogens optionally can be substituted with C<sub>1-3</sub> alkyl or C<sub>1-3</sub> alkanoyl,

whereby in the above-mentioned aliphatic chains, a carbon atom or two carbon atoms can be optionally replaced by exchanged for O, NH, N C<sub>1-3</sub> alkyl, N C<sub>1-3</sub> alkanoyl, and whereby alkyl or cycloalkyl groups can be optionally substituted with up to two substituents selected from consisting of =O, OH, O C<sub>1-3</sub> alkyl, NH<sub>2</sub>, NH C<sub>1-3</sub> alkyl, NH C<sub>1-3</sub> alkanoyl, N (C<sub>1-3</sub> alkyl)<sub>2</sub>, and N(C<sub>1-3</sub> alkyl)(C<sub>1-3</sub> alkanoyl),

B means COOH, COOR<sup>5</sup>, CONH<sub>2</sub>, CONHNH<sub>2</sub>, CONHR<sup>5</sup>, CONR<sup>5</sup>R<sup>5'</sup>, CONHOH, CONHOR<sup>5</sup>,

SO<sub>3</sub>H, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>5</sup>, SO<sub>2</sub>NR<sup>5</sup>R<sup>5'</sup>,  
PO<sub>3</sub>H, PO(OH)(OR<sup>5</sup>), PO(OR<sup>5</sup>)(OR<sup>5'</sup>), PO(OH)(NHR<sup>5</sup>),  
PO(NHR<sup>5</sup>)(NHR<sup>5'</sup>), or  
tetrazolyl,

in each case bonded to a carbon atom of group A,

or the entire group Y-A-B is N(SO<sub>2</sub>R<sup>4</sup>)(SO<sub>2</sub>R<sup>4</sup>) or NHSO<sub>2</sub>R<sup>4</sup>,

X means a bond, CH<sub>2</sub>, (CH<sub>2</sub>)<sub>2</sub>, CH(CH<sub>3</sub>), (CH<sub>2</sub>)<sub>3</sub>, CH(CH<sub>2</sub>CH<sub>3</sub>), CH(CH<sub>3</sub>)CH<sub>2</sub>, or CH<sub>2</sub>CH(CH<sub>3</sub>),

Y means O, NH, NR<sup>4</sup>, NCOR<sup>4</sup>, NSO<sub>2</sub>R<sup>4</sup>,

provided that if Y means NH, NR<sup>4</sup>, NCOR<sup>4</sup> or NSO<sub>2</sub>R<sup>4</sup>, and

a) substituent R<sup>2</sup> contains a nitrogen-containing, saturated heterocyclic group compound, this heterocyclic group compound is not substituted in the imine nitrogen with H, methyl, ethyl, propyl or isopropyl,

or

b) in optionally present groups XNHR<sup>4</sup> or XNR<sup>4</sup>R<sup>4'</sup> of substituent R<sup>2</sup>, R<sup>4</sup> and/or

$R^4$  does not mean  $C_{1-4}$  alkyl,

that B does not mean  $COOH$ ,  $SO_3H$ ,  $PO_3H_2$  or tetrazolyl at the same time, and  $R^1$  and  $R^2$ , independently of one another, mean  $C_{5-6}$  heteroaryl or phenyl, if the latter, independently of one another, are unsubstituted, or are substituted simply with  $C_{1-6}$  alkyl,  $C_{1-4}$  perfluoroalkyl,  $O\ C_{1-6}$  alkyl,  $O\ C_{1-4}$  perfluoroalkyl,  $COOH$ ,  $COO\ C_{1-6}$  alkyl,  $CO\ C_{1-6}$  alkyl,  $CONH_2$ ,  $CONHR^4$ ,  $NO_2$ ,  $NH_2$ ,  $NHCOR^4$ ,  $NHSO_2R^4$ , or with 1 or 2 halogen atoms from the group that consists of F, Cl, Br, and I, and

whereby the following compounds are excluded:

$[(1,2\text{-Diphenyl-}1H\text{-benzimidazol-}6\text{-yl})\text{oxy}]\text{acetic acid methyl ester,}$

$5\text{-}[(1,2\text{-diphenyl-}1H\text{-benzimidazol-}6\text{-yl})\text{oxy}]\text{pentanoic acid methyl ester,}$

$4\text{-}[(1,2\text{-diphenyl-}1H\text{-benzimidazol-}6\text{-yl})\text{oxy}]\text{butanoic acid ethyl ester,}$

$5\text{-}[[1\text{-}(4\text{-nitrophenyl)}\text{-}2\text{-phenyl-}1H\text{-benzimidazol-}6\text{-yl})\text{oxy}]\text{-pentanoic acid methyl ester,}$

$6\text{-}[[1\text{-}(4\text{-nitrophenyl)}\text{-}2\text{-phenyl-}1H\text{-benzimidazol-}6\text{-yl})\text{oxy}]\text{hexanoic acid methyl ester,}$

$5\text{-}[[1\text{-}(4\text{-aminophenyl)}\text{-}2\text{-phenyl-}1H\text{-benzimidazol-}6\text{-yl})\text{oxy}]\text{pentanoic acid methyl ester,}$

$5\text{-}[[1\text{-}[4\text{-}[(4\text{-chlorophenyl})\text{sulfonyl}]\text{amino}]\text{phenyl}]\text{-}2\text{-phenyl-}1H\text{-benzimidazol-}6\text{-yl})\text{oxy}]\text{pentanoic acid methyl ester,}$

$5\text{-}[[1\text{-}[4\text{-}[(acetyl)\text{amino}]\text{phenyl}]\text{-}2\text{-phenyl-}1H\text{-benzimidazol-}6\text{-yl})\text{oxy}]\text{pentanoic acid methyl ester}$

$5\text{-}[[1\text{-}(3\text{-nitrophenyl)}\text{-}2\text{-phenyl-}1H\text{-benzimidazol-}6\text{-yl})\text{oxy}]\text{pentanoic acid methyl ester,}$

$6\text{-}[[1\text{-}(3\text{-nitrophenyl)}\text{-}2\text{-phenyl-}1H\text{-benzimidazol-}6\text{-yl})\text{oxy}]\text{hexanoic acid methyl ester,}$

$5\text{-}[[1\text{-}(3\text{-aminophenyl)}\text{-}2\text{-phenyl-}1H\text{-benzimidazol-}6\text{-yl})\text{oxy}]\text{pentanoic acid methyl ester,}$

$5\text{-}[[1\text{-}[3\text{-}[(4\text{-chlorophenyl})\text{sulfonyl}]\text{amino}]\text{phenyl}]\text{-}2\text{-phenyl-}1H\text{-benzimidazol-}6\text{-yl})\text{oxy}]\text{pentanoic acid methyl ester,}$

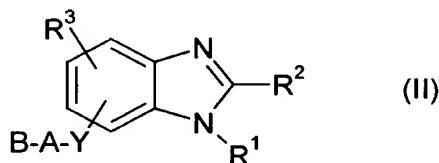
$5\text{-}[[1\text{-}[3\text{-}[(acetyl)\text{amino}]\text{phenyl}]\text{-}2\text{-phenyl-}1H\text{-benzimidazol-}6\text{-yl})\text{oxy}]\text{pentanoic acid methyl ester.}$

13. (Amended) ~~Use of a compound according to claim 1 for the production of a~~ A

process for preparing a pharmaceutical agent composition for treating or preventing diseases that are associated with a microglia activation comprising combining a compound according to claim 1 with a pharmaceutical vehicle or diluent.

14. (Amended) A pharmaceutical agent composition comprising one or more compounds according to claim 1 and one or more vehicles or diluents.

15. (Amended) Use of A method for treating a patient suffering from a disease associated with microglia activation comprising administering to said patient an effective amount of a benzimidazole compound of general formula II



in which

**R<sup>1</sup>** means a monocyclic or bicyclic C<sub>6-12</sub> aryl group or a monocyclic or bicyclic 5- to 10-membered heteroaryl group with 1-4 heteroatoms selected from the group that consists of N, S or and O, whereby the mentioned said aryl or heteroaryl group can be optionally substituted with up to three of the following substituents, independently of one another:

F, Cl, Br, I, C(NH)NH<sub>2</sub>, C(NH)NHR<sup>4</sup>, C(NH)NR<sup>4</sup>R<sup>4'</sup>, C(NR<sup>4</sup>)NH<sub>2</sub>, C(NR<sup>4</sup>)NHR<sup>4</sup>, C(NR<sup>4</sup>)NR<sup>4</sup>R<sup>4'</sup>, XOH, XOR<sup>4</sup>, XOCOR<sup>4</sup>, XOCONHR<sup>4</sup>, XOCOOR<sup>4</sup>, XCOR<sup>4</sup>, XC(NOH)R<sup>4</sup>, XC(NOR<sup>4</sup>)R<sup>4'</sup>, XC(NO(COR<sup>4</sup>))R<sup>4'</sup>, XCN, XCOOH, XCOOR<sup>4</sup>, XCONH<sub>2</sub>, XCONR<sup>4</sup>R<sup>4'</sup>, XCONHR<sup>4</sup>, XCONHOH,

XCONHOR<sup>4</sup>, XCOSR<sup>4</sup>, XSR<sup>4</sup>, XSOR<sup>4</sup>, XSO<sub>2</sub>R<sup>4</sup>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>4</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>4'</sup>, NO<sub>2</sub>, XNH<sub>2</sub>, XNHR<sup>4</sup>, XNR<sup>4</sup>R<sup>4'</sup>, XNHSO<sub>2</sub>R<sup>4</sup>, XN(SO<sub>2</sub>R<sup>4</sup>)(SO<sub>2</sub>R<sup>4'</sup>), XNR<sup>4</sup>SO<sub>2</sub>R<sup>4'</sup>, XNHCOR<sup>4</sup>, XNHCOOR<sup>4</sup>, XNHCONHR<sup>4</sup>, tetrahydro-2,5-dioxopyrrol-1-yl, and 2,5-dihydro-2,5-dioxopyrrol-1-yl, 2,7-dihydro-2,7-dioxoisoindol-1-yl, R<sup>4</sup>, whereby wherein two R<sup>1</sup> substituents at R<sup>4</sup>, if they are in ortho-position to one another, can optionally be linked to one another in such a way that they jointly form methanediylbisoxo, ethane-1,2-diylbisoxo, propane-1,3-diyl, or butane-1,4-diyl;

**R**<sup>2</sup> means a monocyclic or bicyclic C<sub>6-10</sub> aryl group or a monocyclic or bicyclic 5-to 10-membered heteroaryl group with 1-4 heteroatoms selected from the group that consists of N, S or O, whereby the mentioned wherein said aryl or heteroaryl group can be optionally substituted with up to three of the following substituents, independently of one another:

F, Cl, Br, I, C(NH)NH<sub>2</sub>, C(NH)NHR<sup>4</sup>, C(NH)NR<sup>4</sup>R<sup>4'</sup>, C(NR<sup>4</sup>)NH<sub>2</sub>, C(NR<sup>4</sup>)NHR<sup>4</sup>, C(NR<sup>4</sup>)NR<sup>4</sup>R<sup>4'</sup>, XOH, XOR<sup>4</sup>, XOCOR<sup>4</sup>, XOCONHR<sup>4</sup>, XOCOOR<sup>4</sup>, XCOR<sup>4</sup>, XC(NOH)R<sup>4</sup>, XC(NOR<sup>4</sup>)R<sup>4'</sup>, XC(NO(COR<sup>4</sup>))R<sup>4'</sup>, XCN, XCOOH, XCOOR<sup>4</sup>, XCONH<sub>2</sub>, XCONR<sup>4</sup>R<sup>4'</sup>, XCONHR<sup>4</sup>, XCONHOH, XCONHOR<sup>4</sup>, XCOSR<sup>4</sup>, XSR<sup>4</sup>, XSOR<sup>4</sup>, XSO<sub>2</sub>R<sup>4</sup>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>4</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>4'</sup>, NO<sub>2</sub>, XNH<sub>2</sub>, XNHR<sup>4</sup>, XNR<sup>4</sup>R<sup>4'</sup>, XNHSO<sub>2</sub>R<sup>4</sup>, XN(SO<sub>2</sub>R<sup>4</sup>)(SO<sub>2</sub>R<sup>4'</sup>), XNR<sup>4</sup>SO<sub>2</sub>R<sup>4'</sup>, XNHCOR<sup>4</sup>, XNHCOOR<sup>4</sup>, XNHCONHR<sup>4</sup>, tetrahydro-2,5-dioxopyrrol-1-yl and, 2,5-dihydro-2,5-dioxopyrrol-1-yl, 2,7-dihydro-2,7-dioxoisoindol-1-yl, R<sup>4</sup>, wherein wherein two R<sup>2</sup> substituents at R<sup>4</sup>, if they are in ortho-position to one another, can be optionally linked to one another in such a way that they jointly form methanediyl-bisoxo, ethane-1,2-diylbisoxo,

propane-1,3-diyl, or butane-1,4-diyl; ;

**R<sup>3</sup>** stands for one or two substituents, which form are each, independently of one another:

hydrogen, F, Cl, Br, I, XOH, XOR<sup>4</sup>, XOCOR<sup>4</sup>, XOCONHR<sup>4</sup>, XOCOOR<sup>4</sup>,  
XCOR<sup>4</sup>, XC(NOH)R<sup>4</sup>, XC(NOR<sup>4</sup>)R<sup>4</sup>, XC(NO(COR<sup>4</sup>))R<sup>4</sup>,  
XCN, XCOOH, XCOOR<sup>4</sup>, XCONH<sub>2</sub>, XCONHR<sup>4</sup>, XCONR<sup>4</sup>R<sup>4</sup>, XCONHOH,  
XCONHOR<sup>4</sup>, XCOSR<sup>4</sup>, XSR<sup>4</sup>, XSOR<sup>4</sup>, XSO<sub>2</sub>R<sup>4</sup>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>4</sup>,  
SO<sub>2</sub>NR<sup>4</sup>R<sup>4</sup>, NO<sub>2</sub>, XNH<sub>2</sub>, XNHR<sup>4</sup>, XNR<sup>4</sup>R<sup>4</sup>, XNHSO<sub>2</sub>R<sup>4</sup>, XNR<sup>4</sup>SO<sub>2</sub>R<sup>4</sup>,  
XN(SO<sub>2</sub>R<sup>4</sup>)(SO<sub>2</sub>R<sup>4</sup>), XNHCOR<sup>4</sup>, XNHOOR<sup>4</sup>, XNHCONHR<sup>4</sup>, tetrahydro-  
2,5-dioxopyrrol-1-yl, 2,5-dihydro-2,5-dioxopyrrol-1-yl, 2,7-dihydro-2,7-  
dioxoisooindol-1-yl, or R<sup>4</sup>, whereby wherein two substituents R<sup>3</sup>, if they are in  
ortho-position to one another, can be optionally linked to one another in such a  
way that they jointly form methanediylbisoxo, ethane-1,2-diylbisoxo, propane-  
1,3-diyl, or butane-1,4-diyl; ;

**R<sup>4</sup>** and **R<sup>4'</sup>**, independently of one another, mean C<sub>1-4</sub> perfluoroalkyl, C<sub>1-6</sub> alkyl, C<sub>2-6</sub>  
alkenyl, C<sub>2-6</sub> alkinyl, C<sub>3-7</sub> cycloalkyl, (C<sub>1-3</sub> alkyl-C<sub>3-7</sub> cycloalkyl), C<sub>1-3</sub> alkyl-C<sub>6-10</sub>  
aryl, C<sub>1-3</sub> alkyl 5 to 10-membered heteroaryl, with 1-4 N, S or O atoms, C<sub>6-10</sub>  
aryl, or 5- to 10-membered heteroaryl with 1-4 N, S or O atoms,  
wherebywherein the C<sub>6-10</sub> aryl and heteroaryl groups can be optionally  
substituted with one or two substituents selected from the group that consists  
of F, Cl, Br, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, NO<sub>2</sub>, OCH<sub>3</sub>, OC<sub>2</sub>H<sub>5</sub>, CF<sub>3</sub>, and C<sub>2</sub>F<sub>5</sub>, or else can carry  
an annelated methanediylbisoxo group or ethane-1,2-diylbisoxo group, and in  
wherein a 5-membered cycloalkyl ring, a ring member can be optionally have  
an N or O ring member, and in wherein a 6- or 7-membered cycloalkyl ring

cycloalkyl ring can optionally have one or two ring members can be selected from N and/or O, whereby wherein ring nitrogens optionally can be substituted with C<sub>1-3</sub> alkyl or C<sub>1-3</sub> alkanoyl,

R<sup>5</sup> and R<sup>5'</sup>, independently of one another, mean hydrogen, C<sub>1-6</sub> alkyl, C<sub>2-6</sub> alkenyl, C<sub>2-6</sub> alkinyl, whereby wherein in each case a carbon atom can be exchanged optionally replaced by O, S, SO, SO<sub>2</sub>, NH, N C<sub>1-3</sub> alkyl or N C<sub>1-3</sub> alkanoyl, C<sub>3-7</sub> cycloalkyl-C<sub>0-3</sub> alkyl, wherein whereby in a 5-membered cycloalkyl ring, a ring member can be optionally have an N or an O ring member and in a 6- or 7-membered cycloalkyl ring can optionally have one or two ring members can be selected from N and/or O, whereby wherein ring nitrogens optionally can be substituted with C<sub>1-3</sub> alkyl or C<sub>1-3</sub> alkanoyl,

C<sub>6-10</sub> aryl or 5- to 10-membered heteroaryl with 1-4 heteroatoms selected from N, S, and O, whereby the mentioned alkyl, alkenyl and alkinyl chains can be substituted with one of the previously mentioned cycloalkyls, aryls or heteroaryls,

whereby all previously mentioned alkyl and cycloalkyl radicals can optionally be substituted with up to two substituents selected from consisting of CF<sub>3</sub>, C<sub>2</sub>F<sub>5</sub>, OH, O C<sub>1-3</sub> alkyl, NH<sub>2</sub>, NH C<sub>1-3</sub> alkyl, NH C<sub>1-3</sub> alkanoyl, N (C<sub>1-3</sub> alkyl)<sub>2</sub>, N(C<sub>1-3</sub> alkyl)(C<sub>1-3</sub> alkanoyl), COOH, CONH<sub>2</sub>, and COO C<sub>1-3</sub> alkyl, and all previously mentioned aryl and heteroaryl groups can be optionally substituted with one or two substituents selected from the group that consists of F, Cl, Br, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, NO<sub>2</sub>, OCH<sub>3</sub>, OC<sub>2</sub>H<sub>5</sub>, CF<sub>3</sub>, and C<sub>2</sub>F<sub>5</sub>, or else can carry an annelated methanediylbisoxo, ethane-1,2-diylbisoxo group, or

R<sup>5</sup> and R<sup>5'</sup> together with the nitrogen atom form a 5-to 7-membered

heterocyclic group compound, which can optionally contain another oxygen, nitrogen or sulfur atom and can be optionally substituted with by C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy-C<sub>0-2</sub> alkyl, C<sub>1-4</sub> alkoxy-carbonyl, aminocarbonyl or phenyl,

- A means C<sub>1-10</sub> alkanediyl, C<sub>2-10</sub> alkenediyl, C<sub>2-10</sub> alkinediyl, (C<sub>0-5</sub> alkanediyl-C<sub>3-7</sub> cycloalkanediyl-C<sub>0-5</sub> alkanediyl), (C<sub>0-5</sub> alkanediylarylene-C<sub>0-5</sub> alkanediyl), or (C<sub>0-5</sub> alkanediyl-heteroarylene-C<sub>0-5</sub> alkanediyl),  
wherein whereby the aryl and heteroaryl groups can optionally be substituted with one or two substituents that consist of selected from F, Cl, Br, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, NO<sub>2</sub>, OCH<sub>3</sub>, OC<sub>2</sub>H<sub>5</sub>, CF<sub>3</sub>, and C<sub>2</sub>F<sub>5</sub>, whereby in wherein a 5-membered cycloalkyl ring can optionally have a ring member can be an selected from N and O, and in a 6- or 7-membered cycloalkyl ring can optionally have one or two ring members can be selected from N and/or O, wherein ring nitrogens optionally can be substituted with C<sub>1-3</sub> alkyl or C<sub>1-3</sub> alkanoyl,  
whereby wherein the mentioned aliphatic chains, a carbon atom one or two carbon atoms can each optionally be exchanged for replaced by for O, NH, NR<sup>4</sup>, NCOR<sup>4</sup>, or NSO<sub>2</sub>R<sup>4</sup>,  
and wherein alkyl or cycloalkyl groups can be substituted with up to two substituents consisting of selected from F, OH, OR<sup>4</sup>, OCOR<sup>4</sup>, =O, NH<sub>2</sub>, NR<sup>4</sup>R<sup>4</sup>, NHCOR<sup>4</sup>, NHCOOR<sup>4</sup>, NHCONHR<sup>4</sup>, NHSO<sub>2</sub>R<sup>4</sup> SH, and SR<sup>4</sup>,
- B means hydrogen, OH, OCOR<sup>5</sup>, OCONHR<sup>5</sup>, OCOOR<sup>5</sup>, COR<sup>5</sup>, C(NO<sub>2</sub>)R<sup>5</sup>, C(NOR<sup>5</sup>)R<sup>5</sup>, C(NO(COR<sup>5</sup>))R<sup>5</sup>, COOH, COOR<sup>5</sup>, CONH<sub>2</sub>, CONHNH<sub>2</sub>, CONHR<sup>5</sup>, CONR<sup>5</sup>R<sup>5</sup>, CONHOH, CONHOR<sup>5</sup>, SO<sub>3</sub>H, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>5</sup>, SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>,

or tetrazolyl, respectively bonded to a carbon atom of group A,

or the entire group Y-A-B is N(SO<sub>2</sub>R<sup>4</sup>)(SO<sub>2</sub>R<sup>4</sup>) or NSO<sub>2</sub>R<sup>4</sup>,

X means a bond, CH<sub>2</sub>, (CH<sub>2</sub>)<sub>2</sub>, CH(CH<sub>3</sub>), (CH<sub>2</sub>)<sub>3</sub>, CH(CH<sub>2</sub>CH<sub>3</sub>), CH(CH<sub>3</sub>)CH<sub>2</sub>,  
or CH<sub>2</sub>CH(CH<sub>3</sub>),

Y means a bond, O, S, SO, SO<sub>2</sub>, NH, NR<sup>4</sup>, NCOR<sup>4</sup>, NSO<sub>2</sub>R<sup>4</sup>.

~~for the production of a pharmaceutical agent for treating or preventing diseases that are associated with a microglia activation.~~

16. (Amended) Use A method according to claim 15, whereby in general formula II wherein

R<sup>1</sup> means a monocyclic or bicyclic aryl group or a monocyclic or bicyclic 5- to 10-membered heteroaryl group with 1-2 heteroatoms selected from the group that consists of N, S and/or O, whereby wherein said the mentioned aryl or heteroaryl group can be optionally substituted with up to three of the following substituents, independently of one another:

F, Cl, Br,

XOH, XOR<sup>4</sup>, XOCOR<sup>4</sup>, XOCOHR<sup>4</sup>, XOCOOR<sup>4</sup>,

XCOR<sup>4</sup>, XCN, XCOOH, XCOOR<sup>4</sup>, XCONH<sub>2</sub>, XCONR<sup>4</sup>R<sup>4'</sup>, XCONHR<sup>4</sup>,

XCONHOH,

XCONHOR<sup>4</sup>, XCOSR<sup>4</sup>, XSR<sup>4</sup>, NO<sub>2</sub>, XNHR<sup>4</sup>, XNR<sup>4</sup>R<sup>4'</sup>, and

R<sup>4</sup>,

whereby wherein two R<sup>1</sup> substituents at R<sup>4</sup>, if they are in ortho-position to one another, can be linked to one another in such a way that they jointly form methanediylbisoxyl, ethane-1,2-diylbisoxyl, propane-1,3-diyl, butane-1,4-diyl.

17. (Amended) Use A method according to claim 15, whereby in general formula II, wherein

R<sup>2</sup> means a monocyclic or bicyclic aryl group or a monocyclic or bicyclic 5- to 10-membered heteroaryl group with 1-2 heteroatoms selected from the group that consists of N, S and/or O, whereby the mentioned wherein said aryl group

10-membered heteroaryl group with 1-2 heteroatoms selected from the group that consists of N, S or and O, whereby the mentioned wherein said aryl group or heteroaryl group can be optionally substituted with up to three of the following substituents, independently of one another:

F, Cl, Br, XOH, XOR<sup>4</sup>, XOCOR<sup>4</sup>, XOCOCONHR<sup>4</sup>, XOCOOR<sup>4</sup>, XCOR<sup>4</sup>, XC(NOH)R<sup>4</sup>, XC(NOR<sup>4</sup>)R<sup>4</sup>, XC(NO(COR<sup>4</sup>))R<sup>4</sup>, XCN, XCOOH, XCOOR<sup>4</sup>, XCONH<sub>2</sub>, XCONR<sup>4</sup>R<sup>4</sup>, XCONHR<sup>4</sup>, XCONHOH, XCONHOR<sup>4</sup>, XCOSR<sup>4</sup>, XSR<sup>4</sup>, XSOR<sup>4</sup>, XSO<sub>2</sub>R<sup>4</sup>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>4</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>4</sup>, NO<sub>2</sub>, XNH<sub>2</sub>, XNHR<sup>4</sup>, XNR<sup>4</sup>R<sup>4</sup>, XNSO<sub>2</sub>R<sup>4</sup>, XN(SO<sub>2</sub>R<sup>4</sup>)(SO<sub>2</sub>R<sup>4</sup>), XNR<sup>4</sup>SO<sub>2</sub>R<sup>4</sup>, XNHCOR<sup>4</sup>, XNHOOR<sup>4</sup>, XNHCONHR<sup>4</sup>, R<sup>4</sup>,

whereby two R<sup>2</sup> substituents , at R<sup>2</sup> if they are in ortho-position to one another, can be optionally linked to one another in such a way that they jointly form methanediylbisoxo, ethane-1,2-diylbisoxo, propane-1,3-diyl or, butane-1,4-diyl.

18. (Amended) Use A method according to claim 15, wherein whereby in general formula II

R<sup>3</sup> stands for one or two substituents, which independently of one another, each mean:

hydrogen,

F, Cl, Br, XOH, XOR<sup>4</sup>, XOCOR<sup>4</sup>, XOCOCONHR<sup>4</sup>, XOCOOR<sup>4</sup>, XCOR<sup>4</sup>, XC(NOH)R<sup>4</sup>, XC(NOR<sup>4</sup>)R<sup>4</sup>, XC(NO(COR<sup>4</sup>))R<sup>4</sup>, XCN, XSR<sup>4</sup>, XSOR<sup>4</sup>, XSO<sub>2</sub>R<sup>4</sup>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NHR<sup>4</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>4</sup>, NO<sub>2</sub>, XNH<sub>2</sub>, XNHR<sup>4</sup>, XNR<sup>4</sup>R<sup>4</sup>, XNSO<sub>2</sub>R<sup>4</sup>, XN(SO<sub>2</sub>R<sup>4</sup>)(SO<sub>2</sub>R<sup>4</sup>), XNHCOR<sup>4</sup>, XNHOOR<sup>4</sup>, XNHCONHR<sup>4</sup>, or R<sup>4</sup>, wherein whereby two substituents R<sup>3</sup>, if they are in ortho-position to one another, can be linked to one another in such a way that they jointly form methanediylbisoxo, ethane-1,2-diylbisoxo, propane-1,3-diyl or, butane-1,4-diyl.

$\mathbf{R}^4$  and  $\mathbf{R}^{4'}$ , independently of one another, mean  $\text{CF}_3$ ,  $\text{C}_2\text{F}_5$ ,  $\text{C}_{1-4}$  alkyl,  $\text{C}_{2-4}$  alkenyl,  $\text{C}_{2-4}$  alkinyl,  $\text{C}_{3-6}$  cycloalkyl, ( $\text{C}_{1-3}$  alkyl- $\text{C}_{3-6}$  cycloalkyl),  $\text{C}_{1-3}$  alkylaryl,  $\text{C}_{1-3}$  alkylheteroaryl, monocyclic aryl or 5- to 6-membered heteroaryl with 1-2 N, S or O atoms, wherein said whereby the aryl and heteroaryl groups can be optionally substituted with one or two substituents selected from ~~the group that consists of~~ F, Cl, Br,  $\text{CH}_3$ ,  $\text{C}_2\text{H}_5$ ,  $\text{NO}_2$ ,  $\text{OCH}_3$ ,  $\text{OC}_2\text{H}_5$ ,  $\text{CF}_3$  and,  $\text{C}_2\text{F}_5$ , or else can carry an annelated methanediylbisoxo or ethane-1,2-diylbisoxo group, and in addition in a 5-membered cycloalkyl ring can optionally have, a ring member ~~can be an~~ selected from N or an and O, in and a 6-membered cycloalkyl ring can optionally have, one or two ring members selected from can be N and/or O, wherein whereby ring nitrogens optionally can be substituted with  $\text{C}_{1-3}$  alkyl or  $\text{C}_{1-3}$  alkanoyl.

20. (Amended) Use A method according to claim 15, wherein whereby in general formula II

$\mathbf{R}^5$  and  $\mathbf{R}^{5'}$ , independently of one another, can be  $\text{C}_{1-6}$  alkyl, wherein a carbon atom can optionally be ~~exchanged for replaced by~~ O, NH, N  $\text{C}_{1-3}$  alkyl, N  $\text{C}_{1-3}$  alkanoyl or,  $\text{C}_{3-7}$  cycloalkyl- $\text{C}_{0-3}$  alkyl, whereby in wherein a 5-membered cycloalkyl ring, can optionally have a ring member ~~can be an~~ N or and an O, and in a 6- or 7-membered cycloalkyl ring, can optionally have one or two ring members selected from N and/or O, whereby wherein ring nitrogens optionally can be substituted with  $\text{C}_{1-3}$  alkyl or  $\text{C}_{1-3}$  alkanoyl, wherein whereby the mentioned  $\text{C}_{1-6}$  alkyl part can optionally be substituted with one of the previously mentioned cycloalkyls or else a 5- to 6-membered heteroaromatic group compound with 1-2 heteroatoms, selected from ~~the group that consist of~~ N, S and/or O, wherein whereby all previously mentioned alkyl and cycloalkyl parts can be substituted with up to two substituents selected from ~~that consists of~~  $\text{CF}_3$ , OH, and O  $\text{C}_{1-3}$  alkyl, and the previously mentioned heteroaryl groups can optionally be substituted with one or two substituents selected from can consist of F, Cl,  $\text{CF}_3$ ,  $\text{CH}_3$ ,  $\text{C}_2\text{H}_5$ ,  $\text{OCH}_3$  and,  $\text{OC}_2\text{H}_5$ ,

or R<sup>5</sup> and R<sup>5'</sup> together with the nitrogen atom form a 5- to 7-membered heterocyclic group compound which optionally contains can contain another oxygen, nitrogen or sulfur atom and can be is optionally substituted by with C<sub>1-4</sub> alkyl, C<sub>1-4</sub> alkoxy-C<sub>0-2</sub> alkyl, C<sub>1-4</sub> alkoxy-carbonyl, aminocarbonyl or phenyl.

21. (Amended) Use A method according to claim 15, wherein whereby in general formula II

- A means C<sub>1-10</sub> alkanediyl, C<sub>2-10</sub> alkenediyl, C<sub>2-10</sub> alkinediyl, (C<sub>0-5</sub> alkanediyl-C<sub>3-7</sub> cycloalkanediyl-C<sub>0-5</sub> alkanediyl), or (C<sub>0-5</sub> alkanediyl-heteroarylene-C<sub>0-5</sub> alkanediyl), wherein whereby an optionally present if a heteroaryl group can be is present it is optionally substituted with one or two substituents that consists of selected from F, Cl, Br, CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, NO<sub>2</sub>, OCH<sub>3</sub>, OC<sub>2</sub>H<sub>5</sub>, CF<sub>3</sub> and, C<sub>2</sub>F<sub>5</sub>, and in addition in a 5-membered cycloalkyl ring, can optionally have a ring member can be an selected from N or an and O, and in a 6- or 7-membered cycloalkyl ring can optionally have one or two ring members can be selected from N and/or O, whereby wherein ring nitrogens optionally can be substituted with C<sub>1-3</sub> alkyl or C<sub>1-3</sub> alkanoyl, wherein whereby in an aliphatic chains, a carbon atom one or two carbon atoms can be replaced by exchanged for O, NH, N C<sub>1-3</sub> alkyl, N C<sub>1-3</sub> alkanoyl, or NSO<sub>2</sub> C<sub>1-3</sub> alkyl, and whereby alkyl or cycloalkyl parts can be optionally substituted with up to two F atoms or one of the by the substituents selected from that consists of OH, O C<sub>1-3</sub> alkyl, O C<sub>1-3</sub> alkanoyl, =O, NH<sub>2</sub>, NH C<sub>1-3</sub> alkyl, N (C<sub>1-3</sub> alkyl)<sub>2</sub>, NH C<sub>1-3</sub> alkanoyl, N (C<sub>1-3</sub> alkyl) (C<sub>1-3</sub> alkanoyl), NHCOO C<sub>1-3</sub> alkyl, NHCONH C<sub>1-3</sub> alkyl, NHSO<sub>2</sub> C<sub>1-3</sub> alkyl, SH and, S C<sub>1-3</sub> alkyl.

22. (Amended) Use A method according to claim 15, wherein whereby in general formula II

- B means hydrogen, OH, OCOR<sup>5</sup>, OCONHR<sup>5</sup>, OCOOR<sup>5</sup>, COOH, COOR<sup>5</sup>, CONH<sub>2</sub>, CONHR<sup>5</sup>, CONR<sup>5</sup>R<sup>5'</sup>, CONHOH, CONHOR<sup>5</sup>, or

tetrazolyl, in each case bonded to a carbon atom of group A.

23. (Amended) Use A method according to claim 15, wherein whereby in general formula II

X means a bond or CH<sub>2</sub>.

24. (Amended) Use A method according to claim 15, wherein whereby in general formula II

Y means a bond, O, S, NH, NR<sup>4</sup>, NCOR<sup>4</sup> or NSO<sub>2</sub>R<sup>4</sup>